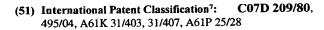


## I HARRA BURRARI II BURRIK BURRI 1181 I HI DO URBI HARA BURI BAHRA URI KARATIK KARATIK I BARRA

(43) International Publication Date 22 February 2001 (22.02.2001)

**PCT** 

## (10) International Publication Number WO 01/12603 A1



- (21) International Application Number: PCT/GB00/03011
- (22) International Filing Date: 4 August 2000 (04.08.2000)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 9918962.3

11 August 1999 (11.08.1999) GB

(71) Applicant (for all designated States except US): VER-NALIS RESEARCH LIMITED [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 SUA (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): BENTLEY, Jonathan, Mark [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB) ROFFEY, Jonathan, Richard, Anthony [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). DAVIDSON, James, Edward, Paul [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB) MANSELL, Howard, Langham [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB) HAMLYN, Richard, John [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). CLIFFE, Ian, Anthony

[GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB) ADAMS, David, Reginald [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB), MONCK, Nathaniel, Julius [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB).

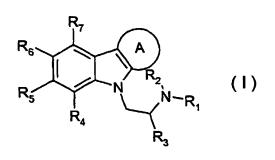
- (74) Agents: HOWARD, Paul, Nicholas et al.; Carpmaels & Ransford, 43 Bloomsbury Square, London WC1A 2RA (GB).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

## Published:

With international search report.

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INDOLE DERIVATIVES, PROCESS FOR THEIR PREPARATION, PHARMACEUTICAL COMPOSITIONS CONTAINING THEM AND THEIR MEDICINAL APPLICATION



(57) Abstract: A chemical compound of formula (I) wherein:  $R_1$  and  $R_2$  are independently selected from hydrogen and alkyl;  $R_3$  is alkyl;  $R_4$ ,  $R_6$  and  $R_7$  are independently selected from hydrogen, halogen, hydroxy, alkyl, aryl, amino, alkylamino, dialkylamino, alkoxy, aryloxy, alkylthio, alkylsulfoxyl, alkylsulfonyl, nitro, carbonitrile, carbo-alkoxy, carbo-aryloxy and carboxyl;  $R_5$  is selected from hydrogen, halogen, hydroxy, alkyl, aryl, amino, alkylamino, dialkylamino, alkoxy, aryloxy, alkylthio, alkylsulfoxyl, alkylsulfonyl, nitro, carbonitrile, carbo-alkoxy, carbo-aryloxy and carboxyl; A is a 5- or 6-membered partially unsaturated or aromatic heterocyclic ring or a 5- or 6-membered partially unsaturated carbocyclic ring, wherein if A is a 6-membered partially unsaturated carbocyclic ring

then at least one of  $R_4$  to  $R^7$  is other than hydrogen, and pharmaceutically acceptable salts, addition compounds and prodrugs thereof, and the use thereof in therapy, particularly as an agonist or antagonist of a 5HT receptor, particularly a 5HT $_{2C}$  receptor, for instance in the treatment of disorders of the central nervous system; damage to the central nervous system; cardiovascular disorders; gastrointestinal disorders; diabetes insipidus, and sleep apnea, and particularly for the treatment of obesity.

0000566.034403

01/12603 A1